

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE
REQUEST FOR FILING APPLICATION UNDER 37 CFR 53(b)
WITHOUT FILING FEE OR EXECUTED INVENTOR'S DECLARATION

Assistant Commissioner for Patents
Washington, D.C. 20231

Atty. Dkt. 2365-12
Date: December 2, 1999

This is a request for filing a new PATENT APPLICATION under Rule 53(b) entitled:

**COSMETIC OR DERMATOLOGICAL COMPOSITION COMPRISING AT LEAST ONE ALKYNYL CARBAMATE AND
AT LEAST ONE POLYOL**

without a filing fee and/or without an executed inventor's oath/declaration.

This application is made by the below identified inventor(s). Attached hereto are the following papers:

- ☒ An abstract together with
11 pages of specification and claims including
18 numbered claims and also attached is/are
sheets of accompanying drawings.
☒ This application is based on the following prior foreign application(s):

Application No.
98 15304

Country
France

Filing Date
03 December 1998

respectively, the entire content of which is hereby incorporated by reference in this application, and priority is hereby claimed therefrom.

- ☐ This application is based on the following prior provisional application(s):

Application No.

Filing Date

respectively, the entire content of which is hereby incorporated by reference in this application, and priority is hereby claimed therefrom.

Certified copy/ies of foreign applications attached.

This application is a ☐ continuation/☐ division/☐ continuation-in-part of application Serial No. , filed .
Please amend the specification by inserting before the first line: --This application is a ☐ continuation/☐ division/☐ continuation-in-part of application Serial No. , filed , the entire content of which is hereby incorporated by reference in this application.--

Please amend the specification by inserting before the first line: --This is a continuation of PCT application No. , filed , the entire content of which is hereby incorporated by reference in this application.--

Please amend the specification by inserting before the first line: --This application claims the benefit of U.S. Provisional Application No. , filed , the entire content of which is hereby incorporated by reference in this application.--

Preliminary amendment to claims (attached hereto), to be entered before calculation of the fee.

Also attached. PRIORITY DOCUMENT

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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of

SAINT-LEGER, Didier

Atty. Ref.: 2365-12

Serial No.:

New U.S. Application claiming benefit of FR 9815304

Group: Unassigned

Filed: December 2, 1999

Examiner: Unassigned

For: COSMETIC OR DERMATOLOGICAL
COMPOSITION COMPRISING AT LEAST ONE
ALKYNYL CARBAMATE AND AT LEAST ONE
POLYOL

* * * * *

December 2, 1999

Assistant Commissioner for Patents
Washington, DC 20231

PRELIMINARY AMENDMENT

Sir:

Preliminarily amend the above-identified application as follows.

IN THE CLAIMS:

Amend the claims as follows.

Claim 2, lines 1-2, delete "characterized in that it contains" and insert --comprising--.

Claim 3, lines 1-2, delete "or 2, characterized in that" and insert --wherein--.

4. (Amended) Composition according to [any one of Claims 1 to 3, characterized in that the polyol is chosen from] Claim 1, wherein the polyol is selected from the group consisting of erythritol, arabitol, adonitol, sorbitol, dulcitol, 1,2-butanediol, 1,3-butanediol, 1,4-butanediol, 2,3-butanediol, 1,5-pentanediol, 2,4-pentanediol, 2-methyl-2,4-pentanediol, 1,6-hexanediol, 2,3-dimethyl-2,3-butanediol, 2,2-diethyl-1,3-propanediol, 2-ethyl-1,3-hexanediol, 2,2,4-trimethyl-1,3-pentanediol, 2-ethyl-2-butyl-1,3-propanediol, 1,2,4-butanetriol, 1,2,6-hexanetriol, 2,2-dihydroxymethyl-1-butanol, tetramethylolmethane, glyceryl α -monomethyl ether, glyceryl α -mono-n-butyl ether and 2-O- α -D-glucopyranosyl-L-ascorbic acid.

5. (Amended) Composition according to [any one of Claims 1 to 3, characterized in that] Claim 1, wherein the polyol is 3-(2-ethylhexyloxy)-1,2-propanediol.

6. (Amended) Composition according to [any one of Claims 1 to 5, characterized in that] Claim 1, wherein the compound of formula (I) and the polyol are simultaneously present in individual proportions of between 0.001% and 10% by weight relative to the total weight of the composition.

7. (Amended) Composition according to [any one of Claims 1 to 6, characterized in that] Claim 1, wherein the formula (I) and the polyol are simultaneously present in individual proportions of between 0.01% and 5% by weight relative to the total weight of the composition.

8. (Amended) Composition according to [any one of Claims 1 to 7, characterized in that]
Claim 1, wherein the weight ratio of compound (I) to the polyol ranges from 0.01 to 100.

9. (Amended) Composition according to [any one of Claims 1 to 8, characterized in that]
Claim 1, wherein the weight ratio of compound (I) to the polyol ranges from 0.05 to 5.

10. (Amended) Composition according to [any one of Claim 1 to 9, characterized in that
it has] Claim 1, having a pH of between 2 and 12.

11. (Amended) Composition according to [any one of Claims 1 to 10, characterized in
that] Claim 1, wherein the physiologically acceptable medium consists of water or of a mixture
of water and at least one organic solvent [chosen from] selected from the group consisting of C₁-
C₄ lower alcohols, alkylene glycol, ethylene glycol monobutyl ether, and propylene glycol and
dipropylene glycol mono(or di)ethyl (or methyl) ether, present, in proportions of between 1
and 80% by weight relative to the total weight of the composition.

12. (Amended) Composition according to [any one of Claims 1 to 11, characterized in
that it is] Claim 1, in the form of lotions, shampoos, mousses, creams, gels, sticks, sprays, balms,
powders or solid or liquid soaps.

13. (Amended) Composition according to [any one of Claims 1 to 12, characterized in that the composition contains additives other than the compounds defined in Claim 1, chosen from] Claim 1, further comprising at least one additive selected from the group consisting of anionic, amphoteric, zwitterionic or nonionic surfactants, suspending agents, anionic, nonionic, cationic or amphoteric polymers, proteins, silicone oils, waxes, resins and/or gums, acidifying or basifying agents, preserving agents, fragrances, antibacterial agents, antifungal agents or other adjuvants commonly used in cosmetics or dermatology.

Cancel claims 14-17, without prejudice.

18. (Amended) Cosmetic treatment process for eliminating dandruff from the hair and the scalp, [characterized in that the cosmetic composition defined according to any one of Claims 1 to 13 is applied] comprising applying a composition of Claim 1 to wet or dry hair, and this is optionally followed by a rinsing operation.

Add the following claims.

--19. A cosmetic treatment of the hair or scalp comprising applying the composition of Claim 1 to said hair or scalp.

20. A cosmetic antifungal treatment comprising applying the composition of Claim 1 to the hair or scalp of an individual in need of said treatment.

21. A cosmetic antidandruff cosmetic treatment comprising applying the composition of Claim 1 to the hair or scalp of an individual in need of said treatment.

22. A method of making a dermatological composition for treatment of inflammatory and/or desquamating skin disorders comprising mixing an alkynyl carbamate and at least one polyol in a cosmetic composition.--

REMARKS

The claims have been amended to place the claims in more traditional format and to reduce the dependencies. Claims 14-17 have been canceled, without prejudice. Claims 19-22 have been added. No new matter has been added. Claims 1-13 and 18-22 are pending.

An early and favorable Action on the merits is requested.

Respectfully submitted,

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Our Ref.: **2365-12**
B98/4323US

U.S. PATENT APPLICATION

Inventor(s): Didier SAINT-LEGER

Invention: COSMETIC OR DERMATOLOGICAL COMPOSITION COMPRISING AT
LEAST ONE ALKYNYL CARBAMATE AND AT LEAST ONE POLYOL

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SPECIFICATION

effective than those of the prior art. Specifically, the Applicant has discovered, surprisingly, that these combinations have strong and long-lasting antifungal activity directed against *Malassezia* spp.

It has been noted in particular that combinations of these compounds at doses at which each of their individual activities is lost, remain effective and have reinforced antifungal activity.

It has also been found that the combinations of the invention have good solubility and stability in the media usually used for applying antifungal compositions, as well as good skin tolerance.

A subject of the present invention is thus a cosmetic or dermatological composition comprising, in a physiologically acceptable medium, at least one alkynyl carbamate and at least one polyol.

Another subject of the invention relates to the use of these compositions as antifungal or antidandruff cosmetic compositions.

Another subject of the invention is also the use of an alkynyl carbamate and a polyol to prepare an antidandruff or antifungal dermatological composition.

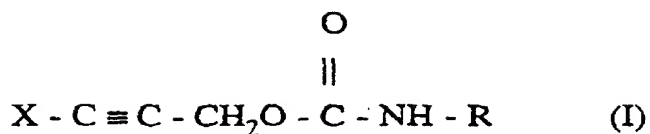
Another subject of the invention consists of a cosmetic treatment process for eliminating dandruff from the hair and the scalp using these compositions.

Other subjects of the invention will become apparent in the light of the description and the examples which follow.

A subject of the present invention is essentially a cosmetic or dermatological composition, characterized in that it comprises, in a physiologically acceptable medium:

- at least one alkynyl carbamate of formula (I) below:

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in which:

X denotes a halogen atom

R denotes a hydrogen atom,

an alkyl group,

a hydroxyalkyl group,

and at least one polyol chosen from non-etherified polyols, $\text{C}_1\text{-C}_{10}$ (poly)alkyl or $\text{C}_2\text{-C}_{20}$ (poly)alkenyl polyol ethers and glycosyl polyol ethers; the polyol being saturated or unsaturated, linear, branched or cyclic, bearing or not bearing a hetero atom, and bearing or not bearing additional chemical functions chosen from carbonyl and carboxyl functions.

In the context of the present invention:

the term "halogen atom" denotes a fluorine, chlorine, bromine or iodine atom and preferably an iodine atom.

The term "alkyl group" denotes linear or branched groups containing from 1 to 20 carbon atoms, such as, for example, octyl, nonyl, decyl, dodecyl or pentadecyl groups. The term "alkyl group" preferably denotes lower alkyl groups containing from 1 to 4 carbon atoms in a linear or branched chain, such as, for example, methyl, ethyl, propyl, isopropyl, n-propyl, butyl, n-butyl or tert-butyl groups.

The compositions of the invention preferably contain compounds of formula (I) in which X denotes an iodine atom and R denotes a lower alkyl group containing from 1 to 4 carbon atoms.

Even more preferably, they contain at least 3-iodo-2-propynyl butylcarbamate.

According to the invention, the polyols represent saturated or unsaturated, linear, branched or

cyclic compounds bearing or not bearing a hetero atom, and bearing or not bearing additional chemical functions chosen from carbonyl and carboxyl functions.

Among these compounds, mention may be made of erythritol, arabitol, adonitol, sorbitol, dulcitol, 1,2-butanediol, 1,3-butanediol, 1,4-butanediol, 2,3-butanediol, 1,5-pentanediol, 2,4-pentanediol, 2-methyl-2,4-pentanediol, 1,6-hexanediol, 2,3-dimethyl-2,3-butanediol, 2,2-diethyl-1,3-propanediol, 2-ethyl-1,3-hexanediol, 2,2,4-trimethyl-1,3-pentanediol, 2-ethyl-2-butyl-1,3-propanediol, 1,2,4-butanetriol, 1,2,6-hexanetriol, 2,2-dihydroxymethyl-1-butanol, tetramethylolmethane (pentaerythritol), glyceryl α -monomethyl ether, glyceryl α -mono-n-butyl ether and 2-O- α -D-glucopyranosyl-L-ascorbic acid.

The polyol preferably used is 3-(2-ethyl-hexyloxy)-1,2-propanediol ($C_{11}H_{24}O_3$).

The alkynyl carbamate and the polyol can be simultaneously present in individual proportions or between 0.001% and 10% by weight relative to the total weight of the composition and preferably in proportions of between 0.01% and 5% by weight relative to the total weight of the composition.

The weight ratio of the alkynyl carbamate and of the polyol can range from 0.01 to 100 and preferably from 0.05 to 5.

The compositions of the invention can have a pH of between 2 and 12.

The physiologically acceptable medium generally consists of water or of mixture of water and at least one organic solvent which is physiologically acceptable for the purpose of topical application. Among the solvents which may be mentioned are C_1 - C_4 lower alcohols such as ethanol, isopropyl alcohol, alkylene glycols such as propylene glycol, ethylene glycol monobutyl ether, and propylene glycol and dipropylene glycol mono(or di)ethyl(or methyl) ether. When they are present, these

solvents preferably represent from 1 to 80% by weight relative to the total weight of the composition.

The compositions according to the invention can be in the form of lotions, shampoos, mousses, creams, gels, sticks, sprays, balms, powders or solid or liquid soaps.

The compositions in accordance with the invention can optionally also contain various additives other than those defined above, which do not adversely affect the properties of the compositions of the invention, such as anionic, amphoteric, zwitterionic or nonionic surfactants, suspending agents, anionic, nonionic, cationic or amphoteric polymers, proteins, silicone oils, waxes, resins and/or gums, acidifying or basifying agents, preserving agents, fragrances or other adjuvants commonly used in cosmetics or dermatology.

The compositions according to the invention can also contain other antibacterial agents such as those described above, for instance chloramine T, Irgasan DP 300, chloramine B, 1,3-dibromo-5,5-dimethylhydantoin, 1,3-dichloro-5,5-dimethylhydantoin, 3-bromo-1-chloro-5,5-dimethylhydantoin or N-chlorosuccinimide.

They can also contain antifungal agents such as, for example, selenium sulphide, zinc pyrindinethione or octopyrox.

A subject of the invention is thus the use of a composition as defined above for the cosmetic treatment of the hair and the scalp.

A subject of the invention is also the use of a composition as defined above, as an antifungal cosmetic composition.

More particularly, the compositions of the invention are preferably used as antidandruff cosmetic compositions.

The invention also relates to the use of alkynyl carbamate as defined above and of at least one polyol as defined above for the preparation of an

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antifungal dermatological composition or for the preparation of a dermatological composition intended for the treatment of inflammatory and/or desquamating skin disorders associated with *Malassezia* spp. and more particularly antidandruff treatment.

A subject of the present invention is also a cosmetic treatment process in which the cosmetic compositions according to the invention are used to eliminate dandruff from the hair and the scalp, and they are applied, in this case, to wet or dry hair; these applications optionally being followed by a rinsing operation.

In one preferred embodiment of the invention, the compositions according to the invention are used as shampoos for washing and treating the hair and the scalp.

In this case, they are applied to wet or dry hair in amounts which are effective for washing them, this application being followed by a rinsing operation.

The examples which follow illustrate the present invention without, however, being limiting in nature.

In the examples which follow, the following definitions apply:

Compound A: 3-iodo-2-propynyl butyl carbamate, and

Compound B: 3-(2-ethylhexyloxy)-1,2-propanediol

Example I: Antidandruff lotion

Compound A	0.1	g	AM
Compound B	0.2	g	
95° ethanol	30	g	
Water	qs	100	g

This solution is applied daily at a rate of 6 ml to the scalp for 1 to 2 weeks. A considerable improvement in the state of the dandruff is thus

observed.

Example II: Antidandruff shampoo

Compound A	0.1	g AM
Compound B	1.0	g
Sodium lauryl ether sulphate (2.2 EO)	14	g AM
Cocylbetaine	2.4	g AM
Water	qs	100 g

This shampoo is used daily at a rate of 10 g per scalp with an exposure time of about one minute, and for a period of 2 weeks. A marked improvement in the state of the dandruff is thus observed.

Example III: Antidandruff shampoo

Compound A	0.1	g AM
Compound B	1.0	g
Sodium lauryl ether sulphate (2.2 EO)	8	g AM
C ₈ /C ₁₀ /C ₁₂ /C ₁₄ alkyl polyglycoside (1,4)	6	g AM
Water	qs	100 g

This shampoo is used daily at a rate of 10 g per scalp with an exposure time of about one minute, and for a period of 2 weeks. A marked improvement in the state of the dandruff is thus observed.

Example IV: Determination of the antifungal activity of the combination of compounds (A) and (B)

The activity of the combination of compound (A) and compound (B) was determined by a conventional method known as the MIC (Minimum Inhibitory Concentration), the MIC corresponding to the concentration at which a given

protein inhibits the growth of a given strain under defined conditions.

In this instance, strains of *Pityrosporum ovale* from the Institut Pasteur, reference CIP 1363.82, were used.

An inoculum of a fresh culture, of 1 to 10×10^7 cells/ml, is introduced into a Sabouraud + Tween 40 at 10 g/l + glyceryl monooleate at 2.5 g/l agar medium, in the presence or absence of the compound or of the combination of the compounds to be studied, and incubated for 48 hours at 30°C.

The concentration of the compound or combination of compounds above which the growth of the microorganism is completely inhibited (absence of cloudiness of the medium) is thus determined.

For compound (A) by itself and compound (B) by itself, not combined together, at the concentration MIC/2, the growth is identical to that of the control medium, i.e. the medium without any antibacterial compound.

On the other hand, the growth is blocked by the combination of compound (A) at MIC/2 + compound (B) at MIC/2.

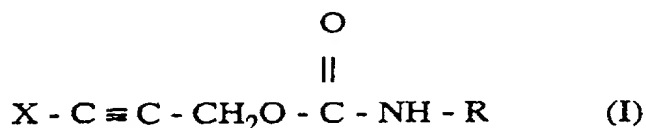
These results thus show that this combination of compounds, at doses at which each of their individual activities is lost, remains effective and shows reinforced antifungal activity.

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CLAIMS

1. Cosmetic or dermatological composition, characterized in that it comprises, in a physiologically acceptable medium:

- at least one alkynyl carbamate of formula (I) below:



in which:

X denotes a halogen atom

R denotes a hydrogen atom,

an alkyl group,

a hydroxyalkyl group,

- and at least one polyol chosen from non-etherified polyols, $\text{C}_1\text{-C}_{10}$ (poly)alkyl or $\text{C}_2\text{-C}_{20}$ (poly)alkenyl polyol ethers and glycosyl polyol ethers; the polyol being saturated or unsaturated, linear, branched or cyclic, bearing or not bearing a hetero atom, and bearing or not bearing additional chemical functions chosen from carbonyl and carboxyl functions.

2. Composition according to Claim 1, characterized in that it contains at least one compound of formula (I) in which X denotes an iodine atom and R denotes an alkyl radical containing from 1 to 4 carbon atoms.

3. Composition according to Claim 1 or 2, characterized in that the compound of formula (I) is 3-iodo-2-propynyl butylcarbamate.

4. Composition according to any one of Claims 1 to 3, characterized in that the polyol is chosen from erythritol, arabitol, adonitol, sorbitol, dulcitol, 1,2-

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butanediol, 1,3-butanediol, 1,4-butanediol, 2,3-butanediol, 1,5-pentanediol, 2,4-pentanediol, 2-methyl-2,4-pentanediol, 1,6-hexanediol, 2,3-dimethyl-2,3-butanediol, 2,2-diethyl-1,3-propanediol, 2-ethyl-1,3-hexanediol, 2,2,4-trimethyl-1,3-pentanediol, 2-ethyl-2-butyl-1,3-propanediol, 1,2,4-butanetriol, 1,2,6-hexanetriol, 2,2-dihydroxymethyl-1-butanol, tetramethylolmethane, glyceryl α -monomethyl ether, glyceryl α -mono-n-butyl ether and 2-O- α -D-glucopyranosyl-L-ascorbic acid.

5. Composition according to any one of Claims 1 to 3, characterized in that the polyol is 3-(2-ethylhexyloxy)-1,2-propanediol.

6. Composition according to any one of Claims 1 to 5, characterized in that the compound of formula (I) and the polyol are simultaneously present in individual proportions of between 0.001% and 10% by weight relative to the total weight of the composition.

7. Composition according to any one of Claims 1 to 6, characterized in that the compound of formula (I) and the polyol are simultaneously present in individual proportions of between 0.01% and 5% by weight relative to the total weight of the composition.

8. Composition according to any one of Claims 1 to 7, characterized in that the weight ratio of compound (I) to the polyol ranges from 0.01 to 100.

9. Composition according to any one of Claims 1 to 8, characterized in that the weight ratio of compound (I) to the polyol ranges from 0.05 to 5.

10. Composition according to any one of Claims 1 to 9, characterized in that it has a pH of between 2 and 12.

11. Composition according to any one of Claims 1 to 10, characterized in that the physiologically acceptable medium consists of water or of a mixture of water and at least one organic solvent chosen from C_1 - C_4 lower alcohols, alkylene glycol, ethylene glycol monobutyl ether, and propylene glycol and dipropylene glycol

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mono(or 'di)ethyl(or methyl) ether, present, in proportions of between 1 and 80% by weight relative to the total weight of the composition.

12. Composition according to any one of Claims 1 to 11, characterized in that it is in the form of lotions, shampoos, mousses, creams, gels, sticks, sprays, balms, powders or solid or liquid soaps.

13. Composition according to any one of Claims 1 to 12, characterized in that the composition contains additives other than the compounds defined in Claim 1, chosen from anionic, amphoteric, zwitterionic or nonionic surfactants, suspending agents, anionic, nonionic, cationic or amphoteric polymers, proteins, silicone oils, waxes, resins and/or gums, acidifying or basifying agents, preserving agents, fragrances, antibacterial agents, antifungal agents or other adjuvants commonly used in cosmetics or dermatology.

14. Use of a composition according to any one of Claims 1 to 13, for the cosmetic treatment of the hair and the scalp.

15. Use of a composition as defined in any one of Claims 1 to 13, as an antifungal cosmetic composition.

16. Use of a composition as defined in any one of Claims 1 to 13, as an antidandruff cosmetic composition.

17. Use of an alkynyl carbamate as defined in one of Claims 1 to 3, and of at least one polyol as defined in one of Claims 1, 4 and 5, for the preparation of a dermatological composition intended for the treatment of inflammatory and/or desquamating skin disorders associated with *Malassezia* spp. and more particularly for antidandruff treatment.

18. Cosmetic treatment process for eliminating dandruff from the hair and the scalp, characterized in that the cosmetic composition defined according to any one of Claims 1 to 13 is applied to wet or dry hair, and this is optionally followed by a rinsing operation.

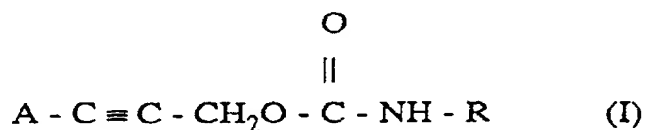
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ABSTRACT

Cosmetic or dermatological composition comprising at least one alkynyl carbamate and at least one polyol.

The present invention consists of a cosmetic or dermatological composition comprising, in a physiologically acceptable medium:

- at least one alkynyl carbamate of formula (I) below:



in which:

A denotes a halogen atom

R denotes a hydrogen atom,

an alkyl group,

a hydroxyalkyl group,

- and at least one polyol chosen from non-etherified polyols, and (poly)alkyl or (poly)alkenyl polyol ethers; these polyols containing from 4 to 28 carbon atoms and from 2 to 6 hydroxyl functions, with the proviso that at least two of these hydroxyl functions are free;

uses of these compositions and a cosmetic treatment process using these compositions.